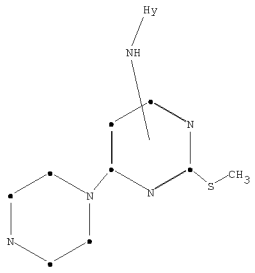
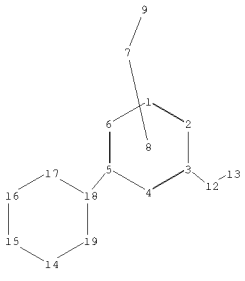
C:\Program Files\Stnexp\Queries\10632428 (claim 29).str



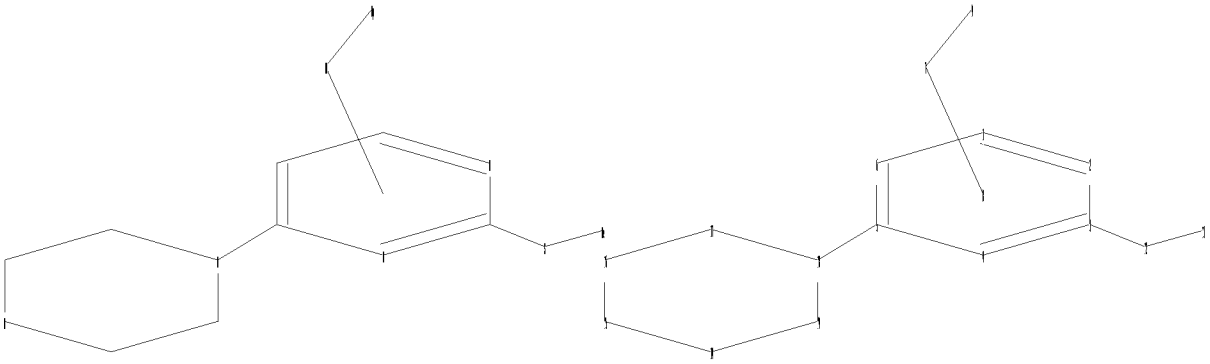


chain nodes :
    7 9 12 13
ring nodes :
    1 2 3 4 5 6 14 15 16 17 18 19
chain bonds :
    3-12 5-18 7-9 12-13
ring bonds :
    1-2 1-6 2-3 3-4 4-5 5-6 14-15 14-19 15-16 16-17 17-18 18-19
exact/norm bonds :
    3-12 5-18 7-9
exact bonds :
    12-13 14-15 14-19 15-16 16-17 17-18 18-19
normalized bonds :
    1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
    containing 1 : 14 :

Match level :
    1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 9:Atom 12:CLASS 13:CLASS
    14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
Generic attributes :
    9:
    Saturation   : Unsaturated
    Number of Carbon Atoms : less than 7
    Number of Hetero Atoms : 2 or more
    Type of Ring System   : Monocyclic

Element Count :
    Node 9: Limited
        C,C3
        N,N2
        O,O0
        S,S0

=>
Uploading C:\Program Files\Stnexp\Queries\10632428 (claim 29).str



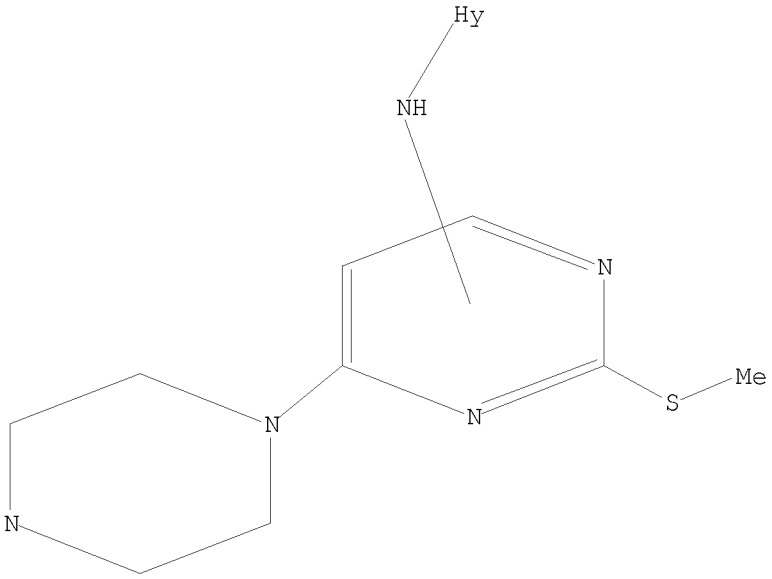
chain nodes :
7 9 12 13
ring nodes :
1 2 3 4 5 6 14 15 16 17 18 19
chain bonds :
3-12 5-18 7-9 12-13
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 14-15 14-19 15-16 16-17 17-18 18-19
exact/norm bonds :
3-12 5-18 7-9
exact bonds :
12-13 14-15 14-19 15-16 16-17 17-18 18-19
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 : 14 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 9:Atom 12:CLASS
13:CLASS 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
Generic attributes :
9:
Saturation : Unsaturated
Number of Carbon Atoms : less than 7
Number of Hetero Atoms : 2 or more
Type of Ring System : Monocyclic

Element Count :
Node 9: Limited
C,C3
N,N2
O,O0
S,S0

```
L1      STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1              STR
```




```
Structure attributes must be viewed using STN Express query preparation.


=> s l1 sss sam
SAMPLE SEARCH INITIATED 09:40:00 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      223 TO ITERATE

100.0% PROCESSED      223 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         3565 TO     5355
PROJECTED ANSWERS:               0 TO        0

L2            0 SEA SSS SAM L1

=> s l1 sss ful
FULL SEARCH INITIATED 09:40:22 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     4082 TO ITERATE

100.0% PROCESSED     4082 ITERATIONS                                1 ANSWERS
SEARCH TIME: 00.00.14

L3            1 SEA SSS FUL L1
```

```
=> => s l3
L4            5 L3

=> d l4 1-5 bib,ab,hitstr
```

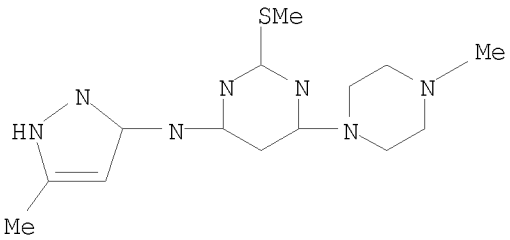
L4 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2005:140796 CAPLUS
DN 142:240444
TI Preparation of 3-(4-pyrimidinylamino)-1H-pyrazoles as protein kinase inhibitors, especially of Aurora-2 and GSK-3
IN Bebbington, David; Charrier, Jean-damien; Golec, Julian; Miller, Andrew; Knegtel, Ronald
PA UK
SO U.S. Pat. Appl. Publ., 164 pp.
CODEN: USXXCO
DT Patent
LA English

Applicant's PGPub

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 20050038023	A1	20050217	US 2003-632428	20030801
PRAI US 2003-632428		20030801		

OS MARPAT 142:240444
AB The title compds. I [Z1 = N, CR8; Z2 = N, CH; and at least one of Z1 and Z2 = N; Rb, Rc = TR3, LZR3; C2RbRc = (un)substituted fused (hetero)cycle; Q = NR4, O, S, etc.; R1 = TD; D = (un)substituted mono- or bicyclic (hetero)aryl, heterocyclyl, carbocyclyl; T = a bond, alkylidene (un)interrupted by O, S, NR4, CO, etc.; Z = alkylidene; L = O, S, SO, SO2, etc.; R2, R2a = R, TWR6, or C2R2R2a = (un)substituted fused (hetero)cycle; R3 = R, halo, OR, etc.; R = H, (un)substituted aliphatic, (hetero)aryl, heterocyclyl; R4 = R7, COR7, SO2R7, etc.; W = CO, CO2, CONR6, etc.; R6, R7 = H, alkyl; or N(R6)2 or N(R7)2 = heterocyclyl, heteroaryl] were prepared For example, the (pyrazolylamino)quinazoline II was refluxed with thiophenol in tert-BuOH to give III. In bioassays, I inhibited the following kinases with Ki values reported < 20 μM: GSK-3β, AURORA-2, CDK-2, ERK2, AKT, and human Src kinase. I are useful for the treatment of diseases associated with protein kinases, such as diabetes, cancer, and Alzheimer's disease (no data).
IT 438205-45-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(protein kinase inhibitor; preparation of (pyrimidinylamino)pyrazoles as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)
RN 438205-45-9 CAPLUS
CN 4-Pyrimidinamine, 6-(4-methyl-1-piperazinyl)-N-(5-methyl-1H-pyrazol-3-yl)-2-(methylthio)- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2002:615605 CAPLUS
DN 137:169539
TI Preparation of 3-(4-pyrimidinylamino)-1H-pyrazoles as protein kinase inhibitors, especially of Aurora-2 and GSK-3, for treatment of cancer, diabetes, and Alzheimer's disease
IN Bebbington, David; Charrier, Jean-Damien; Golec, Julian M. C.; Miller, Andrew; Knegtel, Ronald
PA Vertex Pharmaceuticals Incorporated, USA
SO PCT Int. Appl., 335 pp.
CODEN: PIXXD2
DT Patent
LA English

same inventive entity

no ODP issues with any issued patents

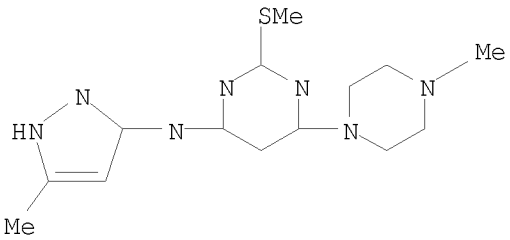
FAN.CNT 14

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002062789	A1	20020815	WO 2001-US51031	20011219
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	EP 1698627	A1	20060906	EP 2006-10798	20010914
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	CA 2432222	A1	20020815	CA 2001-2432222	20011219
	AU 2002246899	A1	20020819	AU 2002-246899	20011219
	CA 2432303	A1	20020829	CA 2001-2432303	20011219
	WO 2002066461	A1	20020829	WO 2001-US49139	20011219
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2002255452	A1	20020904	AU 2002-255452	20011219
	AU 2002255452	B2	20060608		
	CA 2432223	A1	20020906	CA 2001-2432223	20011219
	CA 2432223	C	20080520		
	WO 2002068415	A1	20020906	WO 2001-US50312	20011219
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2001297619	A1	20020912	AU 2001-297619	20011219

AU 2001297619	B2	20060608			
US 20030004161	A1	20030102	US 2001-26975	20011219	
US 6653300	B2	20031125			
US 20030036543	A1	20030220	US 2001-25164	20011219	parent
US 6664247	B2	20031216			
US 20030055068	A1	20030320	US 2001-26967	20011219	
US 6989385	B2	20060124			
US 20030078275	A1	20030424	US 2001-27001	20011219	
US 6653301	B2	20031125			
US 20030105090	A1	20030605	US 2001-26966 ABN	20011219	
EP 1345922	A1	20030924	EP 2001-271061	20011219	
EP 1345922	B1	20060531			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
EP 1345927	A1	20030924	EP 2001-994510	20011219	
EP 1345927	B1	20060517			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
EP 1355905	A1	20031029	EP 2001-273861	20011219	
EP 1355905	B1	20070221			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
NZ 526472	A	20040430	NZ 2001-526472	20011219	
JP 2004518703	T	20040624	JP 2002-563142	20011219	
JP 2004518743	T	20040624	JP 2002-565976	20011219	
HU 2004000638	A2	20040628	HU 2004-638	20011219	
JP 2004519479	T	20040702	JP 2002-567928	20011219	
HU 2004000842	A2	20040728	HU 2004-842	20011219	
US 20040214814	A1	20041028	US 2001-26992 no ODP	20011219	
CN 1549812	A	20041124	CN 2001-822105	20011219	
NZ 526473	A	20050624	NZ 2001-526473	20011219	
NZ 526471	A	20050826	NZ 2001-526471	20011219	
AT 327989	T	20060615	AT 2001-271061	20011219	
AT 326460	T	20060615	AT 2001-985059	20011219	
AT 326461	T	20060615	AT 2001-993360	20011219	
AT 326462	T	20060615	AT 2001-994510	20011219	
EP 1702920	A1	20060920	EP 2006-11799	20011219	
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
PT 1345922	T	20060929	PT 2001-271061	20011219	
AT 340172	T	20061015	AT 2001-994323	20011219	
ES 2265446	T3	20070216	ES 2001-985059	20011219	
ES 2265450	T3	20070216	ES 2001-993360	20011219	
ES 2265452	T3	20070216	ES 2001-994510	20011219	
ES 2266095	T3	20070301	ES 2001-271061	20011219	
AT 354573	T	20070315	AT 2001-273861	20011219	
ES 2272567	T3	20070501	ES 2001-994323	20011219	
ES 2280313	T3	20070916	ES 2001-273861	20011219	
US 20030004164	A1	20030102	US 2001-34683	20011220	
US 6656939	B2	20031202			
US 20030022885	A1	20030130	US 2001-34019	20011220	
US 6727251	B2	20040427			
AT 326463	T	20060615	AT 2001-994347	20011220	
AT 353890	T	20070315	AT 2001-991439	20011220	
TW 290551	B	20071201	TW 2001-90131846	20011225	
ZA 2003004468	A	20040624	ZA 2003-4468	20030609	
ZA 2003004469	A	20040624	ZA 2003-4469	20030609	

	ZA 2003004470	A	20040624	ZA 2003-4470	20030609
	ZA 2003004471	A	20040624	ZA 2003-4471	20030609
	ZA 2003004473	A	20040624	ZA 2003-4473	20030609
	ZA 2003004475	A	20040624	ZA 2003-4475	20030609
	ZA 2003004472	A	20040625	ZA 2003-4472	20030609
	ZA 2003004474	A	20040625	ZA 2003-4474	20030609
	NO 2003002704	A	20030821	NO 2003-2704	20030613
	NO 2003002736	A	20030818	NO 2003-2736	20030616
	IN 2003KN00795	A	20050204	IN 2003-KN795	20030619
	MX 2003PA05608	A	20031006	MX 2003-PA5608	20030620
	MX 2003PA05609	A	20031006	MX 2003-PA5609	20030620
	MX 2003PA05610	A	20031006	MX 2003-PA5610	20030620
	IN 2003KN00869	A	20050708	IN 2003-KN869	20030703
	US 20040224944	A1	20041111	US 2003-624800	20030722
	US 7008948	B2	20060307		
	US 20040116454	A1	20040617	US 2003-692355	20031023
	US 7390815	B2	20080624		
	US 20040157893	A1	20040812	US 2003-722374	20031125
	US 20040132781	A1	20040708	US 2003-736426	20031215
	US 7087603	B2	20060808		
	US 20040167141	A1	20040826	US 2004-775699	20040210
	HK 1060347	A1	20061201	HK 2004-101883	20040315
	HK 1061389	A1	20061201	HK 2004-102099	20040322
	JP 2005097322	A	20050414	JP 2004-366925	20041217
	US 20070270444	A1	20071122	US 2006-369220	20060306
	AU 2006201228	A1	20060413	AU 2006-201228	20060321
	AU 2006201229	A1	20060413	AU 2006-201229	20060321
	AU 2006201230	A1	20060413	AU 2006-201230	20060321
	AU 2006201262	A1	20060427	AU 2006-201262	20060321
	AU 2006201263	A1	20060427	AU 2006-201263	20060321
	AU 2006201264	A1	20060427	AU 2006-201264	20060321
	AU 2006201265	A1	20060427	AU 2006-201265	20060321
	AU 2006201391	A1	20060427	AU 2006-201391	20060404
	US 20060258658	A1	20061116	US 2006-492450	20060725
	JP 2008115195	A	20080522	JP 2008-15681	20080125
PRAI	US 2000-257887P	P	20001221		
	US 2001-286949P	P	20010427		
	US 2000-232795P	P	20000915		
	AU 2001-90944	A3	20010914		
	AU 2001-91013	A3	20010914		
	AU 2001-94558	A3	20010914		
	AU 2001-96871	A3	20010914		
	AU 2001-96875	A3	20010914		
	EP 2001-971082	A3	20010914		
	JP 2002-526860	A3	20010914		
	US 2001-952671	A3	20010914		
	US 2001-953471	A3	20010914		
	US 2001-955601	A3	20010914		
	EP 2001-273861	A	20011219		
	EP 2001-994323	A3	20011219		
	JP 2002-557938	A3	20011219		
	US 2001-26966	A1	20011219		
	WO 2001-US49139	W	20011219		
	WO 2001-US50312	W	20011219		
	WO 2001-US51031	W	20011219		
	US 2001-34019	A3	20011220		
	US 2001-34683	A1	20011220		

US 2003-624800 A3 20030722
OS MARPAT 137:169539
AB 285 Title compds. I [wherein Z1 = N or CR8; Z2 = N or CH; and at least 1 of Z1 and Z2 = N; Rx and Ry = independently TR3 or LZR3; or C2RxRy = (un)substituted fused (hetero)cycle; Q = NR4, O, S, C(R6')2, 1,2-cyclo(prop/but)anediyl, or 1,3-cyclobutanediyl; R1 = TD; D = (un)substituted mono- or bicyclic (hetero)aryl, heterocyclyl, or carbocyclyl; T = a bond or alkylidene chain (un)interrupted by O, S, NR4, CO, CONH, NHCO, SO2, SO2NH, NHSO2, CO2, OCO, OCONH, or NHCO2, with provisos; Z = alkylidene chain; L = O, S, SO, SO2, NR6SO2, SO2NR6, NR6, NR6CO, NR6CO2, NR6CONR6, NR6SO2NR6, NR6NR6, OCONR6, or W; R2 and R2a = independently R, TWR6, or C2R2R2a = (un)substituted fused (hetero)cycle; R3 = R, halo, OR, COR, CO2R, CO(CH2)0-1COR, NO2, CN, SO0-2R, N(R4)2, carbamoyl, sulfamoyl, OCOR, acylamino, hydrazino, ureido, etc.; R = independently H or (un)substituted aliphatic, (hetero)aryl, or heterocyclyl; R4 = independently R7, COR7, carboxy, CON(R7)2, or SO2R7; W = CO, CO2, CONR6, C(R6)2O, C(R6)2SO0-2, C(R6)2SO2NR6, C(R6)2NR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, or C(R6)2NR6CONR6; R6, R6', R7 = independently H or aliphatic; or N(R6)2 or N(R7)2 = independently heterocyclyl or heteroaryl; or C(R6')2 = carbocycle; R8 = R, halo, OR, COR, CO2R, COCOR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2(R4)2, OCOR, NR4COR, NR4CO2(aliphatic), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2] were prepared However, the claims pertain only to 3-(2-amino-4-pyrimidinylamino)-1H-pyrazoles, i.e. Z1 = Z2 = N, and Q = NH. I are protein kinase inhibitors, especially of Aurora-2 and GSK-3. For example, the (pyrazolylamino)quinazoline II was refluxed with thiophenol in t-BuOH to give III. In bioassays, I inhibited the following kinases with Ki values reported < 20 μM: GSK-3β (232 compds.), AURORA-2 (227 compds.), CDK-2 (13 compds.), ERK2 (8 compds.), AKT (10 compds.), and Human Src kinase (183 compds.). I are useful for the treatment of diseases associated with protein kinases, such as diabetes, cancer, and Alzheimer's disease (no data).
IT 438205-45-9P, [6-(4-Methylpiperazin-1-yl)-2-methylsulfanylpyrimidin-4-yl](5-methyl-1H-pyrazol-3-yl)amine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(protein kinase inhibitor; preparation of (pyrimidinylamino)pyrazoles as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)
RN 438205-45-9 CAPLUS
CN 4-Pyrimidinamine, 6-(4-methyl-1-piperazinyl)-N-(5-methyl-1H-pyrazol-3-yl)-2-(methylthio)- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2002:575069 CAPLUS
DN 137:109292
TI Preparation of 3-(4-pyrimidinylamino)-1H-pyrazoles as protein kinase inhibitors, especially of Aurora-2 and GSK-3,for treatment of cancer, diabetes, and Alzheimer's disease
IN Bebbington, David; Charrier, Jean-Damien; Davies, Robert; Golec, Julian; Kay, David; Knegtel, Ronald; Patel, Sanjay
PA Vertex Pharmaceuticals Incorporated, USA
SO PCT Int. Appl., 337 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 14

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002059111	A2	20020801	WO 2001-US51120	20011219
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	EP 1698627	A1	20060906	EP 2006-10798	20010914
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	CA 2432131	A1	20020801	CA 2001-2432131	20011219
	CA 2432131	C	20080708		
	AU 2002245198	A1	20020806	AU 2002-245198	20011219
	CA 2432303	A1	20020829	CA 2001-2432303	20011219
	WO 2002066461	A1	20020829	WO 2001-US49139	20011219
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2002255452	A1	20020904	AU 2002-255452	20011219
	AU 2002255452	B2	20060608		
	CA 2432223	A1	20020906	CA 2001-2432223	20011219
	CA 2432223	C	20080520		
	WO 2002068415	A1	20020906	WO 2001-US50312	20011219
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

AU 2001297619	A1	20020912	AU 2001-297619	20011219
AU 2001297619	B2	20060608		
US 20030004161	A1	20030102	US 2001-26975	20011219
US 6653300	B2	20031125		
US 20030036543	A1	20030220	US 2001-25164	20011219
US 6664247	B2	20031216		
US 20030055068	A1	20030320	US 2001-26967	20011219
US 6989385	B2	20060124		
US 20030078275	A1	20030424	US 2001-27001	20011219
US 6653301	B2	20031125		
US 20030105090	A1	20030605	US 2001-26966	20011219
EP 1345922	A1	20030924	EP 2001-271061	20011219
EP 1345922	B1	20060531		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1345926	A2	20030924	EP 2001-993360	20011219
EP 1345926	B1	20060517		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001016493	A	20030930	BR 2001-16493	20011219
EP 1355905	A1	20031029	EP 2001-273861	20011219
EP 1355905	B1	20070221		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
NZ 526468	A	20040326	NZ 2001-526468	20011219
NZ 526472	A	20040430	NZ 2001-526472	20011219
JP 2004517926	T	20040617	JP 2002-559413	20011219
JP 2004518743	T	20040624	JP 2002-565976	20011219
JP 2004519479	T	20040702	JP 2002-567928	20011219
HU 2004000842	A2	20040728	HU 2004-842	20011219
HU 2004000908	A2	20040728	HU 2004-908	20011219
US 20040214814	A1	20041028	US 2001-26992	20011219
CN 1549812	A	20041124	CN 2001-822105	20011219
NZ 526473	A	20050624	NZ 2001-526473	20011219
AT 327989	T	20060615	AT 2001-271061	20011219
AT 326460	T	20060615	AT 2001-985059	20011219
AT 326461	T	20060615	AT 2001-993360	20011219
AT 326462	T	20060615	AT 2001-994510	20011219
EP 1702920	A1	20060920	EP 2006-11799	20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PT 1345922	T	20060929	PT 2001-271061	20011219
AT 340172	T	20061015	AT 2001-994323	20011219
ES 2265446	T3	20070216	ES 2001-985059	20011219
ES 2265450	T3	20070216	ES 2001-993360	20011219
ES 2265452	T3	20070216	ES 2001-994510	20011219
ES 2266095	T3	20070301	ES 2001-271061	20011219
AT 354573	T	20070315	AT 2001-273861	20011219
ES 2272567	T3	20070501	ES 2001-994323	20011219
ES 2280313	T3	20070916	ES 2001-273861	20011219
US 20030004164	A1	20030102	US 2001-34683	20011220
US 6656939	B2	20031202		
US 20030022885	A1	20030130	US 2001-34019	20011220
US 6727251	B2	20040427		
AT 326463	T	20060615	AT 2001-994347	20011220
AT 353890	T	20070315	AT 2001-991439	20011220
TW 290551	B	20071201	TW 2001-90131846	20011225

	ZA 2003004468	A	20040624	ZA 2003-4468	20030609
	ZA 2003004469	A	20040624	ZA 2003-4469	20030609
	ZA 2003004470	A	20040624	ZA 2003-4470	20030609
	ZA 2003004471	A	20040624	ZA 2003-4471	20030609
	ZA 2003004473	A	20040624	ZA 2003-4473	20030609
	ZA 2003004475	A	20040624	ZA 2003-4475	20030609
	ZA 2003004472	A	20040625	ZA 2003-4472	20030609
	ZA 2003004474	A	20040625	ZA 2003-4474	20030609
	NO 2003002670	A	20030815	NO 2003-2670	20030612
	NO 2003002704	A	20030821	NO 2003-2704	20030613
	IN 2003KN00795	A	20050204	IN 2003-KN795	20030619
	IN 2003KN00796	A	20070105	IN 2003-KN796	20030619
	MX 2003PA05605	A	20031006	MX 2003-PA5605	20030620
	MX 2003PA05609	A	20031006	MX 2003-PA5609	20030620
	MX 2003PA05610	A	20031006	MX 2003-PA5610	20030620
	US 20040224944	A1	20041111	US 2003-624800	20030722
	US 7008948	B2	20060307		
	US 20040116454	A1	20040617	US 2003-692355	20031023
	US 7390815	B2	20080624		
	US 20040157893	A1	20040812	US 2003-722374	20031125
	US 20040132781	A1	20040708	US 2003-736426	20031215
	US 7087603	B2	20060808		
	US 20040167141	A1	20040826	US 2004-775699	20040210
	HK 1059776	A1	20061201	HK 2004-100960	20040212
	HK 1060347	A1	20061201	HK 2004-101883	20040315
	JP 2005097322	A	20050414	JP 2004-366925	20041217
	US 20070270444	A1	20071122	US 2006-369220	20060306
	AU 2006201228	A1	20060413	AU 2006-201228	20060321
	AU 2006201229	A1	20060413	AU 2006-201229	20060321
	AU 2006201230	A1	20060413	AU 2006-201230	20060321
	AU 2006201262	A1	20060427	AU 2006-201262	20060321
	AU 2006201263	A1	20060427	AU 2006-201263	20060321
	AU 2006201264	A1	20060427	AU 2006-201264	20060321
	AU 2006201265	A1	20060427	AU 2006-201265	20060321
	AU 2006201391	A1	20060427	AU 2006-201391	20060404
	US 20060258658	A1	20061116	US 2006-492450	20060725
	JP 2008115195	A	20080522	JP 2008-15681	20080125
PRAI	US 2000-257887P	P	20001221		
	US 2001-286949P	P	20010427		
	US 2000-232795P	P	20000915		
	AU 2001-90944	A3	20010914		
	AU 2001-91013	A3	20010914		
	AU 2001-94558	A3	20010914		
	AU 2001-96871	A3	20010914		
	AU 2001-96875	A3	20010914		
	EP 2001-971082	A3	20010914		
	JP 2002-526860	A3	20010914		
	US 2001-952671	A3	20010914		
	US 2001-953471	A3	20010914		
	US 2001-955601	A3	20010914		
	EP 2001-273861	A	20011219		
	EP 2001-994323	A3	20011219		
	JP 2002-557938	A3	20011219		
	US 2001-26966	A1	20011219		
	WO 2001-US49139	W	20011219		
	WO 2001-US50312	W	20011219		
	WO 2001-US51120	W	20011219		

US 2001-34019 A3 20011220
US 2001-34683 A1 20011220
US 2003-624800 A3 20030722

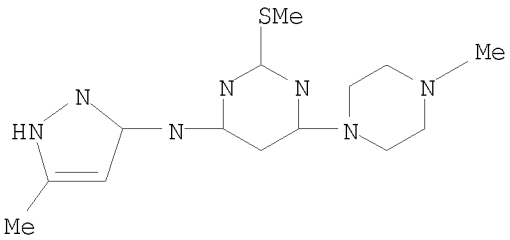
OS MARPAT 137:109292

AB Title compds. I [wherein Z1 = N or CR8; Z2 = N or CH; and at least 1 of Z1 and Z2 = N; Rx and Ry = independently TR3 or LZR3; or C2RxRy = (un)substituted fused (hetero)cycle; Q = NR4, O, S, C(6a)2, 1,2-cyclo(prop/but)anediyl, or 1,3-cyclobutanediyl; R1 = TD; D = (un)substituted mono- or bicyclic (hetero)aryl, heterocyclyl, or carbocyclyl; T = a bond or alkylidene chain (un)interrupted by O, S, NR4, CO, CONH, NHCO, SO2, SO2NH, NHSO2, CO2, OCO, OCONH, or NHCO2, with provisos; Z = alkylidene chain; L = O, S, SO, SO2, NR6SO2, SO2NR6, NR6, NR6CO, NR6CO2, NR6CONR6, NR6SO2NR6, NR6NR6, OCONR6, or W; R2 and R2a = independently R, TWR6, or C2R2R2a = (un)substituted fused (hetero)cycle; R3 = R, halo, OR, COR, CO2R, CO(CH2)0-1COR, NO2, CN, SO0-2R, N(R4)2, carbamoyl, sulfamoyl, OCOR, acylamino, hydrazino, ureido, etc.; R = independently H or (un)substituted aliphatic, (hetero)aryl, or heterocyclyl; R4 = independently R7, COR7, carboxy, CON(R7)2, or SO2R7; W = CO, CO2, CONR6, C(R6)2O, C(R6)2SO0-2, C(R6)2SO2NR6, C(R6)2NR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, or C(R6)2NR6CONR6; R6, R6a, R7 = independently H or aliphatic; or N(R6)2 or N(R7)2 = independently heterocyclyl or heteroaryl; or C(R6a)2 = carbocycle; R8 = R, halo, OR, COR, CO2R, COCOR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2(R4)2, OCOR, NR4COR, NR4CO2(aliphatic), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2] were prepared I are protein kinase inhibitors, especially of Aurora-2 and GSK-3. For example, the (pyrazolylamino)quinazoline II was refluxed with thiophenol in t-BuOH to give III. In bioassays, I inhibited the following kinases with Ki values reported < 20 μM: GSK-3β (232 compds.), AURORA-2 (227 compds.), CDK-2 (13 compds.), ERK2 (8 compds.), AKT (10 compds.), and Human Src kinase (183 compds.). I are useful for the treatment of diseases associated with protein kinases, such as diabetes, cancer, and Alzheimer's disease (no data).

IT 438205-45-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(protein kinase inhibitor; preparation of (pyrimidinylamino)pyrazoles as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 438205-45-9 CAPLUS

CN 4-Pyrimidinamine, 6-(4-methyl-1-piperazinyl)-N-(5-methyl-1H-pyrazol-3-yl)-2-(methylthio)- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L4 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2002:555487 CAPLUS
DN 137:125169
TI Preparation of 3-(4-pyrimidinylamino)-1H-pyrazoles as protein kinase inhibitors, especially of Aurora-2 and GSK-3
IN Bebbington, David; Charrier, Jean-Damien; Golec, Julian; Miller, Andrew; Knegtel, Ronald
PA Vertex Pharmaceuticals Incorporated, USA
SO PCT Int. Appl., 333 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 14

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002057259	A2	20020725	WO 2001-US49401	20011219
	WO 2002057259	A3	20030424		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	EP 1698627	A1	20060906	EP 2006-10798	20010914
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	CA 2432129	A1	20020725	CA 2001-2432129	20011219
	AU 2002246731	A1	20020730	AU 2002-246731	20011219
	CA 2432303	A1	20020829	CA 2001-2432303	20011219
	WO 2002066461	A1	20020829	WO 2001-US49139	20011219
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2002255452	A1	20020904	AU 2002-255452	20011219
	AU 2002255452	B2	20060608		
	CA 2432223	A1	20020906	CA 2001-2432223	20011219
	CA 2432223	C	20080520		
	WO 2002068415	A1	20020906	WO 2001-US50312	20011219
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

AU 2001297619	A1	20020912	AU 2001-297619	20011219
AU 2001297619	B2	20060608		
US 20030004161	A1	20030102	US 2001-26975	20011219
US 6653300	B2	20031125		
US 20030036543	A1	20030220	US 2001-25164	20011219
US 6664247	B2	20031216		
US 20030055068	A1	20030320	US 2001-26967	20011219
US 6989385	B2	20060124		
US 20030078275	A1	20030424	US 2001-27001	20011219
US 6653301	B2	20031125		
US 20030105090	A1	20030605	US 2001-26966	20011219
EP 1345922	A1	20030924	EP 2001-271061	20011219
EP 1345922	B1	20060531		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1353916	A2	20031022	EP 2001-994323	20011219
EP 1353916	B1	20060920		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1355905	A1	20031029	EP 2001-273861	20011219
EP 1355905	B1	20070221		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001016411	A	20031111	BR 2001-16411	20011219
NZ 526472	A	20040430	NZ 2001-526472	20011219
JP 2004517894	T	20040617	JP 2002-557938	20011219
JP 2004518743	T	20040624	JP 2002-565976	20011219
HU 2004000641	A2	20040628	HU 2004-641	20011219
JP 2004519479	T	20040702	JP 2002-567928	20011219
HU 2004000842	A2	20040728	HU 2004-842	20011219
US 20040214814	A1	20041028	US 2001-26992	20011219
CN 1549812	A	20041124	CN 2001-822105	20011219
NZ 526473	A	20050624	NZ 2001-526473	20011219
NZ 526470	A	20060331	NZ 2001-526470	20011219
AT 327989	T	20060615	AT 2001-271061	20011219
AT 326460	T	20060615	AT 2001-985059	20011219
AT 326461	T	20060615	AT 2001-993360	20011219
AT 326462	T	20060615	AT 2001-994510	20011219
EP 1702920	A1	20060920	EP 2006-11799	20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PT 1345922	T	20060929	PT 2001-271061	20011219
AT 340172	T	20061015	AT 2001-994323	20011219
ES 2265446	T3	20070216	ES 2001-985059	20011219
ES 2265450	T3	20070216	ES 2001-993360	20011219
ES 2265452	T3	20070216	ES 2001-994510	20011219
ES 2266095	T3	20070301	ES 2001-271061	20011219
AT 354573	T	20070315	AT 2001-273861	20011219
ES 2272567	T3	20070501	ES 2001-994323	20011219
ES 2280313	T3	20070916	ES 2001-273861	20011219
US 20030004164	A1	20030102	US 2001-34683	20011220
US 6656939	B2	20031202		
US 20030022885	A1	20030130	US 2001-34019	20011220
US 6727251	B2	20040427		
AT 326463	T	20060615	AT 2001-994347	20011220
AT 353890	T	20070315	AT 2001-991439	20011220
TW 290551	B	20071201	TW 2001-90131846	20011225

	ZA 2003004468	A	20040624	ZA 2003-4468	20030609
	ZA 2003004469	A	20040624	ZA 2003-4469	20030609
	ZA 2003004470	A	20040624	ZA 2003-4470	20030609
	ZA 2003004471	A	20040624	ZA 2003-4471	20030609
	ZA 2003004473	A	20040624	ZA 2003-4473	20030609
	ZA 2003004475	A	20040624	ZA 2003-4475	20030609
	ZA 2003004472	A	20040625	ZA 2003-4472	20030609
	ZA 2003004474	A	20040625	ZA 2003-4474	20030609
	NO 2003002703	A	20030819	NO 2003-2703	20030613
	NO 2003002704	A	20030821	NO 2003-2704	20030613
	IN 2003KN00795	A	20050204	IN 2003-KN795	20030619
	IN 2003KN00797	A	20060217	IN 2003-KN797	20030619
	MX 2003PA05607	A	20031006	MX 2003-PA5607	20030620
	MX 2003PA05609	A	20031006	MX 2003-PA5609	20030620
	MX 2003PA05610	A	20031006	MX 2003-PA5610	20030620
	KR 843114	B1	20080702	KR 2003-708387	20030620
	US 20040224944	A1	20041111	US 2003-624800	20030722
	US 7008948	B2	20060307		
	US 20040116454	A1	20040617	US 2003-692355	20031023
	US 7390815	B2	20080624		
	US 20040157893	A1	20040812	US 2003-722374	20031125
	US 20040132781	A1	20040708	US 2003-736426	20031215
	US 7087603	B2	20060808		
	US 20040167141	A1	20040826	US 2004-775699	20040210
	HK 1060347	A1	20061201	HK 2004-101883	20040315
	HK 1060349	A1	20070323	HK 2004-101996	20040317
	JP 2005097322	A	20050414	JP 2004-366925	20041217
	US 20070270444	A1	20071122	US 2006-369220	20060306
	AU 2006201228	A1	20060413	AU 2006-201228	20060321
	AU 2006201229	A1	20060413	AU 2006-201229	20060321
	AU 2006201230	A1	20060413	AU 2006-201230	20060321
	AU 2006201262	A1	20060427	AU 2006-201262	20060321
	AU 2006201263	A1	20060427	AU 2006-201263	20060321
	AU 2006201264	A1	20060427	AU 2006-201264	20060321
	AU 2006201265	A1	20060427	AU 2006-201265	20060321
	AU 2006201391	A1	20060427	AU 2006-201391	20060404
	US 20060258658	A1	20061116	US 2006-492450	20060725
	JP 2008115195	A	20080522	JP 2008-15681	20080125
PRAI	US 2000-257887P	P	20001221		
	US 2001-286949P	P	20010427		
	US 2000-232795P	P	20000915		
	AU 2001-90944	A3	20010914		
	AU 2001-91013	A3	20010914		
	AU 2001-94558	A3	20010914		
	AU 2001-96871	A3	20010914		
	AU 2001-96875	A3	20010914		
	EP 2001-971082	A3	20010914		
	JP 2002-526860	A3	20010914		
	US 2001-952671	A3	20010914		
	US 2001-953471	A3	20010914		
	US 2001-955601	A3	20010914		
	EP 2001-273861	A	20011219		
	EP 2001-994323	A3	20011219		
	JP 2002-557938	A3	20011219		
	US 2001-26966	A1	20011219		
	WO 2001-US49139	W	20011219		
	WO 2001-US49401	W	20011219		

WO 2001-US50312 W 20011219
US 2001-34019 A3 20011220
US 2001-34683 A1 20011220
US 2003-624800 A3 20030722

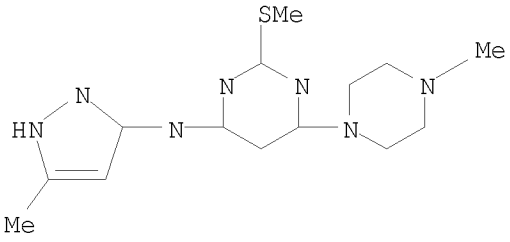
OS MARPAT 137:125169

AB The title compds. I [Z1 = N, CR8; Z2 = N. CH; and at least one of Z1 and Z2 = N; Rb, Rc = TR3, LZR3; C2RbRc = (un)substituted fused (hetero)cycle; Q = NR4, O, S, etc.; R1 = TD; D = (un)substituted mono- or bicyclic (hetero)aryl, heterocyclyl, carbocyclyl; T = a bond, alkylidene (un)interrupted by O, S, NR4, CO, etc.; Z = alkylidene; L = O, S, SO, SO2, etc.; R2, R2a = R, TWR6, or C2R2R2a = (un)substituted fused (hetero)cycle; R3 = R, halo, OR, etc.; R = H, (un)substituted aliphatic, (hetero)aryl, heterocyclyl; R4 = R7, COR7, SO2R7, etc.; W = CO, CO2, CONR6, etc.; R6, R7 = H, alkyl; or N(R6)2 or N(R7)2 = heterocyclyl, heteroaryl] were prepared For example, the (pyrazolylamino)quinazoline II was refluxed with thiophenol in tert-BuOH to give III. In bioassays, I inhibited the following kinases with Ki values reported < 20 μM: GSK-3β (232 compds.), AURORA-2 (227 compds.), CDK-2 (13 compds.), ERK2 (8 compds.), AKT (10 compds.), and Human Src kinase (183 compds.). I are useful for the treatment of diseases associated with protein kinases, such as diabetes, cancer, and Alzheimer's disease (no data).

IT 438205-45-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(protein kinase inhibitor; preparation of (pyrimidinylamino)pyrazoles as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 438205-45-9 CAPLUS

CN 4-Pyrimidinamine, 6-(4-methyl-1-piperazinyl)-N-(5-methyl-1H-pyrazol-3-yl)-2-(methylthio)- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

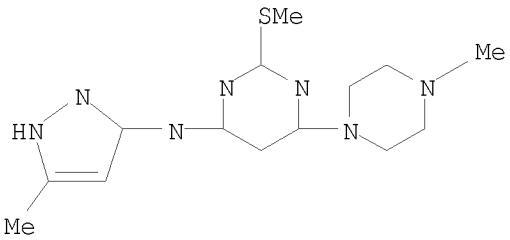
L4 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2002:487556 CAPLUS
DN 137:47221
TI Preparation of 3-(4-pyrimidinylamino)-1H-pyrazoles as protein kinase inhibitors, especially of Aurora-2 and GSK-3,for treatment of cancer, diabetes, and Alzheimer's disease
IN Bebbington, David; Charrier, Jean-Damien; Davies, Robert; Everitt, Simon; Kay, David; Knegtel, Ronald; Patel, Sanjay
PA Vertex Pharmaceuticals Incorporated, USA
SO PCT Int. Appl., 342 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 14

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002050065	A2	20020627	WO 2001-US49140	20011219
WO 2002050065	A3	20021024		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1698627	A1	20060906	EP 2006-10798	20010914
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
CA 2432799	A1	20020627	CA 2001-2432799	20011219
AU 2002034047	A	20020701	AU 2002-34047	20011219
CA 2432303	A1	20020829	CA 2001-2432303	20011219
WO 2002066461	A1	20020829	WO 2001-US49139	20011219
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002255452	A1	20020904	AU 2002-255452	20011219
AU 2002255452	B2	20060608		
CA 2432223	A1	20020906	CA 2001-2432223	20011219
CA 2432223	C	20080520		
WO 2002068415	A1	20020906	WO 2001-US50312	20011219
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

AU 2001297619	A1	20020912	AU 2001-297619	20011219
AU 2001297619	B2	20060608		
US 20030004161	A1	20030102	US 2001-26975	20011219
US 6653300	B2	20031125		
US 20030036543	A1	20030220	US 2001-25164	20011219
US 6664247	B2	20031216		
US 20030055068	A1	20030320	US 2001-26967	20011219
US 6989385	B2	20060124		
US 20030078275	A1	20030424	US 2001-27001	20011219
US 6653301	B2	20031125		
US 20030105090	A1	20030605	US 2001-26966	20011219
EP 1345922	A1	20030924	EP 2001-271061	20011219
EP 1345922	B1	20060531		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1345925	A2	20030924	EP 2001-985059	20011219
EP 1345925	B1	20060517		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1355905	A1	20031029	EP 2001-273861	20011219
EP 1355905	B1	20070221		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
NZ 526472	A	20040430	NZ 2001-526472	20011219
JP 2004516291	T	20040603	JP 2002-551561	20011219
JP 2004518743	T	20040624	JP 2002-565976	20011219
HU 2004000639	A2	20040628	HU 2004-639	20011219
JP 2004519479	T	20040702	JP 2002-567928	20011219
HU 2004000842	A2	20040728	HU 2004-842	20011219
US 20040214814	A1	20041028	US 2001-26992	20011219
CN 1549812	A	20041124	CN 2001-822105	20011219
NZ 526473	A	20050624	NZ 2001-526473	20011219
NZ 526469	A	20051028	NZ 2001-526469	20011219
AT 327989	T	20060615	AT 2001-271061	20011219
AT 326460	T	20060615	AT 2001-985059	20011219
AT 326461	T	20060615	AT 2001-993360	20011219
AT 326462	T	20060615	AT 2001-994510	20011219
EP 1702920	A1	20060920	EP 2006-11799	20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PT 1345922	T	20060929	PT 2001-271061	20011219
AT 340172	T	20061015	AT 2001-994323	20011219
ES 2265446	T3	20070216	ES 2001-985059	20011219
ES 2265450	T3	20070216	ES 2001-993360	20011219
ES 2265452	T3	20070216	ES 2001-994510	20011219
ES 2266095	T3	20070301	ES 2001-271061	20011219
AT 354573	T	20070315	AT 2001-273861	20011219
ES 2272567	T3	20070501	ES 2001-994323	20011219
ES 2280313	T3	20070916	ES 2001-273861	20011219
US 20030004164	A1	20030102	US 2001-34683	20011220
US 6656939	B2	20031202		
US 20030022885	A1	20030130	US 2001-34019	20011220
US 6727251	B2	20040427		
AT 326463	T	20060615	AT 2001-994347	20011220
AT 353890	T	20070315	AT 2001-991439	20011220
TW 290551	B	20071201	TW 2001-90131846	20011225
ZA 2003004468	A	20040624	ZA 2003-4468	20030609

	ZA 2003004469	A	20040624	ZA 2003-4469	20030609
	ZA 2003004470	A	20040624	ZA 2003-4470	20030609
	ZA 2003004471	A	20040624	ZA 2003-4471	20030609
	ZA 2003004473	A	20040624	ZA 2003-4473	20030609
	ZA 2003004475	A	20040624	ZA 2003-4475	20030609
	ZA 2003004472	A	20040625	ZA 2003-4472	20030609
	ZA 2003004474	A	20040625	ZA 2003-4474	20030609
	NO 2003002671	A	20030818	NO 2003-2671	20030612
	NO 2003002704	A	20030821	NO 2003-2704	20030613
	IN 2003KN00795	A	20050204	IN 2003-KN795	20030619
	IN 2003KN00794	A	20061027	IN 2003-KN794	20030619
	MX 2003PA05606	A	20031006	MX 2003-PA5606	20030620
	MX 2003PA05609	A	20031006	MX 2003-PA5609	20030620
	MX 2003PA05610	A	20031006	MX 2003-PA5610	20030620
	US 20040224944	A1	20041111	US 2003-624800	20030722
	US 7008948	B2	20060307		
	US 20040116454	A1	20040617	US 2003-692355	20031023
	US 7390815	B2	20080624		
	US 20040157893	A1	20040812	US 2003-722374	20031125
	US 20040132781	A1	20040708	US 2003-736426	20031215
	US 7087603	B2	20060808		
	US 20040167141	A1	20040826	US 2004-775699	20040210
	HK 1060346	A1	20061201	HK 2004-101882	20040315
	HK 1060347	A1	20061201	HK 2004-101883	20040315
	JP 2005097322	A	20050414	JP 2004-366925	20041217
	US 20070270444	A1	20071122	US 2006-369220	20060306
	AU 2006201228	A1	20060413	AU 2006-201228	20060321
	AU 2006201229	A1	20060413	AU 2006-201229	20060321
	AU 2006201230	A1	20060413	AU 2006-201230	20060321
	AU 2006201262	A1	20060427	AU 2006-201262	20060321
	AU 2006201263	A1	20060427	AU 2006-201263	20060321
	AU 2006201264	A1	20060427	AU 2006-201264	20060321
	AU 2006201265	A1	20060427	AU 2006-201265	20060321
	AU 2006201391	A1	20060427	AU 2006-201391	20060404
	US 20060258658	A1	20061116	US 2006-492450	20060725
	JP 2008115195	A	20080522	JP 2008-15681	20080125
PRAI	US 2000-257887P	P	20001221		
	US 2001-286949P	P	20010427		
	US 2000-232795P	P	20000915		
	AU 2001-90944	A3	20010914		
	AU 2001-91013	A3	20010914		
	AU 2001-94558	A3	20010914		
	AU 2001-96871	A3	20010914		
	AU 2001-96875	A3	20010914		
	EP 2001-971082	A3	20010914		
	JP 2002-526860	A3	20010914		
	US 2001-952671	A3	20010914		
	US 2001-953471	A3	20010914		
	US 2001-955601	A3	20010914		
	EP 2001-273861	A	20011219		
	EP 2001-994323	A3	20011219		
	JP 2002-557938	A3	20011219		
	US 2001-26966	A1	20011219		
	WO 2001-US49139	W	20011219		
	WO 2001-US49140	W	20011219		
	WO 2001-US50312	W	20011219		
	US 2001-34019	A3	20011220		

US 2001-34683 A1 20011220
US 2003-624800 A3 20030722
OS MARPAT 137:47221
AB Title compds. I [wherein Z1 = N or CR8; Z2 = N or CH; and at least 1 of Z1 and Z2 = N; Rx and Ry = independently TR3 or LZR3; or C2RxRy = (un)substituted fused (hetero)cycle; Q = NR4, O, S, C(6a)2, 1,2-cyclo(prop/but)anediyl, or 1,3-cyclobutanediyl; R1 = TD; D = (un)substituted mono- or bicyclic (hetero)aryl, heterocyclyl, or carbocyclyl; T = a bond or alkylidene chain (un)interrupted by O, S, NR4, CO, CONH, NHCO, SO2, SO2NH, NHSO2, CO2, OCO, OCONH, or NHCO2, with provisos; Z = alkylidene chain; L = O, S, SO, SO2, NR6SO2, SO2NR6, NR6, NR6CO, NR6CO2, NR6CONR6, NR6SO2NR6, NR6NR6, OCONR6, or W; R2 and R2a = independently R, TWR6, or C2R2R2a = (un)substituted fused (hetero)cycle; R3 = R, halo, OR, COR, CO2R, CO(CH2)0-1COR, NO2, CN, SO0-2R, N(R4)2, carbamoyl, sulfamoyl, OCOR, acylamino, hydrazino, ureido, etc.; R = independently H or (un)substituted aliphatic, (hetero)aryl, or heterocyclyl; R4 = independently R7, COR7, carboxy, CON(R7)2, or SO2R7; W = CO, CO2, CONR6, C(R6)2O, C(R6)2SO0-2, C(R6)2SO2NR6, C(R6)2NR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, or C(R6)2NR6CONR6; R6, R6a, R7 = independently H or aliphatic; or N(R6)2 or N(R7)2 = independently heterocyclyl or heteroaryl; or C(R6a)2 = carbocycle; R8 = R, halo, OR, COR, CO2R, COCOR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2(R4)2, OCOR, NR4COR, NR4CO2(aliphatic), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2] were prepared I are protein kinase inhibitors, especially of Aurora-2 and GSK-3. For example, the (pyrazolylamino)quinazoline II was refluxed with thiophenol in t-BuOH to give III. In bioassays, I inhibited the following kinases with Ki values reported < 20 μM: GSK-3β (232 compds.), AURORA-2 (227 compds.), CDK-2 (13 compds.), ERK2 (8 compds.), AKT (10 compds.), and Human Src kinase (183 compds.). I are useful for the treatment of diseases associated with protein kinases, such as diabetes, cancer, and Alzheimer's disease (no data).
IT 438205-45-9P, [6-(4-Methylpiperazin-1-yl)-2-methylsulfanylpyrimidin-4-yl](5-methyl-1H-pyrazol-3-yl)amine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(protein kinase inhibitor; preparation of (pyrimidinylamino)pyrazoles as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)
RN 438205-45-9 CAPLUS
CN 4-Pyrimidinamine, 6-(4-methyl-1-piperazinyl)-N-(5-methyl-1H-pyrazol-3-yl)-2-(methylthio)- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

```
=> log y
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                      27.73     206.76

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                         ENTRY    SESSION
CA SUBSCRIBER PRICE                                      -4.00      -4.00

STN INTERNATIONAL LOGOFF AT 09:41:22 ON 04 AUG 2008
```